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        NOV 04
                December 31, 2010
                PROUSDDR and SYNTHLINE Scheduled for Removal
NEWS 9
        NOV 18
                December 31, 2010 by Request of Prous Science
        NOV 22
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                Search an additional 46,850 records with MEDLINE
        NOV 24
NEWS 11
                backfile extension to 1946
                New PNK Field Allows More Precise Crossover among STN
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        DEC 14
                Patent Databases
        DEC 18 ReaxysFile available on STN
NEWS 13
                CAS Learning Solutions -- a new online training experience
        DEC 21
NEWS 14
                Value-Added Indexing Improves Access to World Traditional
NEWS 15
        DEC 22
                Medicine Patents in CAplus
NEWS 16
        JAN 24
                The new and enhanced DPCI file on STN has been released
                Improved Timeliness of CAS Indexing Adds Value to
        JAN 26
NEWS 17
                USPATFULL and USPAT2 Chemistry Patents
                Updated MeSH vocabulary, new structured abstracts, and
        JAN 26
NEWS 18
                other enhancements improve searching in STN reload of
                MEDLINE
NEWS 19
        JAN 28 CABA will be updated weekly
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NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2, AND CURRENT DISCOVER FILE IS DATED 07 JULY 2010.

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=> file reg COST IN U.S. DOLLARS

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SINCE FILE TOTAL ENTRY SESSION 0.23 0.23

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STRUCTURE FILE UPDATES: 14 FEB 2011 HIGHEST RN 1262832-62-1 DICTIONARY FILE UPDATES: 14 FEB 2011 HIGHEST RN 1262832-62-1

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 13:22:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 213671 TO ITERATE

100.0% PROCESSED 213671 ITERATIONS SEARCH TIME: 00.00.16

16 ANSWERS

L2 16 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 197.88 198.11

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 13:23:04 ON 15 FEB 2011 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2011 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 15 Feb 2011 VOL 154 ISS 8
FILE LAST UPDATED: 14 Feb 2011 (20110214/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12 and py<2003

4 L2

23000005 PY<2003

L3 0 L2 AND PY<2003

=> s 12 and py<2004

4 L2

24052574 PY<2004

L4 0 L2 AND PY<2004

=> s 12

L5 4 L2

=> d 1-4 ibib abs hitstr

THE ESTIMATED COST FOR THIS REQUEST IS 23.84 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:666074 CAPLUS

DOCUMENT NUMBER: 151:520134

TITLE: Pharmacophore identification of hydroxamate HDAC 1

inhibitors

AUTHOR(S):

Yu, Liqin; Liu, Fei; Chen, Yadong; You, Qidong

CORPORATE SOURCE: Jiangsu Key Laboratory of Carcinogenesis and

Intervention, Department of Medicinal Chemistry, China Pharmaceutical University, Nanjing, Jiangsu, 210009,

Peop. Rep. China

SOURCE: Chinese Journal of Chemistry (2009), 27(3), 557-564

CODEN: CJOCEV; ISSN: 1001-604X

PUBLISHER: Shanghai Institute of Organic Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

AB A three-dimensional pharmacophore model was established based on 24 hydroxamate histone deacetylase (HDAC) inhibitors by HypoGen algorithm embedded in Catalyst software. The best pharmacophore hypothesis (Hypo1), consisting of four chemical features (one hydrogen-bond acceptor, one aromatic ring and two hydrophobic groups), has a correlation coefficient of 0.946. The Hypol was also validated by a test set consisting of 20 other compds. Compared with the prior studies towards HDAC inhibitors the detailed chemical features of the "CAP" region in the reported HDAC inhibitors were for the first time depicted, which would be helpful in the further designing of novel HDAC inhibitors.

IT 853954-87-7

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(three-dimensional pharmacophore model was developed based on hydroxamate deacetylase 1 inhibitors by HypoGen algorithm embedded in catalyst software, suggests that branched cap structure of HDAC inhibitors strengthen interaction to HDAC 1)

RN 853954-87-7 CAPLUS

CN Heptanediamide, N7-hydroxy-N1, N1-bis[2-[(6-methoxy-2-benzothiazolyl)amino]-2-oxoethyl]- (CA INDEX NAME)

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:942810 CAPLUS

DOCUMENT NUMBER: 149:224564

TITLE: Preparation of N-phenyl amino acid hydroxamates useful

as therapeutic agents for treating anthrax poisoning

INVENTOR(S): Jiao, Guan-Sheng; Johnson, Alan T.

Panthera Biopharna, LLC, USA PATENT ASSIGNEE(S):

PCT Int. Appl., 116pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAI	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
WO	WO 2008094592					A1 2008080				WO 2	 008-1		20080130				
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
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		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM							
RITY	APP	LN.	INFO	.:						US 2	007-	8989	88P	]	P 2	0070	201

PRIO MARPAT 149:224564 OTHER SOURCE(S):

The invention relates to amino acid hydroxamates R1NHCHR2CONHOH [R1 is Ph ABsubstituted by 1-3 groups selected from halo, alkyl, alkoxy, Ph, CN, CO2H, etc.; R2 is alkyl, (un) substituted Ph, cyclohexyl, alkylamino, etc.] or their pharmaceutically-acceptable salts, which inhibit the lethal effects of infection by anthrax bacteria and are useful in the treatment of poisoning by anthrax. Thus, 3,4-MeFC6H3NHCHBuCONHOH was prepared from Me 2-bromohexanoate and 4-fluoro-3-methylaniline and assayed for lethal factor inhibitory activity (Ki = 2.0  $\mu$ M).

1043890-73-8P IT

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(hydroxamic acid derivs. of aniline useful as therapeutic agents for treating anthrax poisoning)

1043890-73-8 CAPLUS RN

Hexanamide, 6-[bis[(6-fluoro-3-pyridinyl)methyl]amino]-2-[(4-fluoro-3-CNmethylphenyl)amino]-N-hydroxy-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:523234 CAPLUS

DOCUMENT NUMBER: 143:59339

TITLE: Preparation of diamine and iminodiacetic acid

hydroxamic acid derivatives as histone deacetylase inhibitors useful against cancer and other diseases

INVENTOR(S): Miller, Thomas A.; Witter, David J.; Belvedere, Sandro

PATENT ASSIGNEE(S): Aton Pharma, Inc., USA SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

WO 2005053610 A2 20050616 WO 2004-US39221	20041123
MILL / HILD TID S & K   H	BY, BZ, CA, CH,
WO 2005053610  A3 20051222  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BC, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KILK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MC, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SC, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YO, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UC, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CC, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NE	ES, FI, GB, GD, KP, KR, KZ, LC, MX, MZ, NA, NI, SG, SK, SL, SY, YU, ZA, ZM, ZW UG, ZM, ZW, AM, CY, CZ, DE, DK,
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, NE, SN, TD, TG  AU 2004294930  AU 2004294930  AL 20050616  CA 2547356  EP 1694329  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NE IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PE	GQ, GW, ML, MR,  20041123  20041123  20041123  NL, SE, MC, PT,

CN 1905881

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JP 2007512367
                                20070517
                                            JP 2006-541622
                                                                   20041123
     IN 2006DN03110
                                20070824
                                            IN 2006-DN3110
                                                                   20060531
     US 20090023718
                          Α1
                                20090122
                                            US 2008-580480
                                                                   20080214
PRIORITY APPLN. INFO.:
                                            US 2003-525333P
                                                                   20031126
                                            WO 2004-US39221
                                                                   20041123
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
                         CASREACT 143:59339; MARPAT 143:59339
OTHER SOURCE(S):
     The present invention relates to a novel class of hydroxamic acid derivs.
AB
     having a diamine or iminodiacetic acid backbone (1:
     (R1(HNC(O))p1CH2)(R2(HNC(O))p2CH2)N(C(O))m(CH2)nC(O)NHOH; n = 2-8; m =
     0-1; p1 and p2 = 0 or 1; R1 and R2 = an (un)substituted aryl, heteroaryl,
     cycloalkyl, heterocyclyl, alkylaryl, alkylheteroaryl, alkylcycloalkyl or
     alkylheterocyclyl; or when p1 and p2 are both 0, R1 and R2 together with
     the -CH2NCH2- group to which they are attached can also be a N-containing
     heterocyclic ring; or when at least one of pl or p2 is not 0, R1 or R2 or
     both can also = H or alkyl; e.g. 6-[bis[2-oxo-2-(4-phenylpiperazin-1-
     yl)ethyl]amino]hexanoic acid hydroxyamide (2)). The hydroxamic acid
     compds. can be used to treat cancer. The hydroxamic acid compds. can also
     inhibit histone deacetylase (HDAC) and are suitable for use in selectively
     including terminal differentiation, arresting cell growth and/or apoptosis
     of neoplastic cells, thereby inhibiting proliferation of such cells.
     Thus, 1 are useful in treating a patient having a tumor characterized by
     proliferation of neoplastic cells. Compds. 1 are also useful in the
     prevention and treatment of TRX-mediated diseases, such as autoimmune,
     allergic and inflammatory diseases, and in the prevention and/or treatment
     of diseases of the central nervous system (CNS), such as neurodegenerative
     diseases. The present invention further provides pharmaceutical compns.
     comprising the hydroxamic acid derivs., and safe, dosing regimens of these
     pharmaceutical compns., which are easy to follow, and which result in a
     therapeutically effective amount of the hydroxamic acid derivs. in vivo.
     Although the methods of preparation are not claimed, example prepns. and/or
     characterization data for .apprx.60 1 are included. For example, 2 was
     prepared by coupling of 6-[N,N-bis(carboxymethyl)amino]hexanoic acid Me
     ester hydrochloride with N-phenylpiperazine using EDCI (74 %) followed by
     conversion of the Me ester to the hydroxamic acid using NH2OH (88 %).
     Results of HDAC inhibition by .apprx.80 examples of 1 are tabulated.
     853954-53-7P, Octanedioic acid
IT
     N, N-bis[(quinolin-8-ylcarbamoyl)methyl]amide hydroxyamide
     853954-55-9P, Hexanedioic acid
     N, N-bis[(quinolin-8-ylcarbamoyl)methyl]amide hydroxyamide
     853954-56-0P, Heptanedioic acid
     N, N-bis[(quinolin-8-ylcarbamoyl)methyl]amide hydroxyamide
     853954-63-9P, Octanedioic acid
     N, N-bis[(quinolin-6-ylcarbamoyl)methyl]amide hydroxyamide
     853954-69-5P, Heptanedioic acid
     N, N-bis[[(benzothiazol-2-yl)carbamoyl]methyl]amide hydroxyamide
     853954-70-8P, Heptanedioic acid
     N, N-bis[(quinolin-6-ylcarbamoyl)methyl]amide hydroxyamide
     853954-76-4P, Heptanedioic acid
     N, N-bis[[(2,3-dihydrobenzo[1,4]dioxin-6-yl)carbamoyl]methyl]amide
     hydroxyamide 853954-77-5P, Heptanedioic acid
     N, N-bis[(1H-indazol-5-ylcarbamoyl)methyl]amide hydroxyamide
     853954-82-2P, Heptanedioic acid
     N, N-bis[(benzodioxol-5-ylcarbamoyl)methyl]amide hydroxyamide
     853954-87-7P, Heptanedioic acid
```

20070131

CN 2004-80040991

20041123

N,N-bis[(6-methoxybenzothiazol-2-ylcarbamoyl)methyl]amide hydroxyamide 853954-88-8P, Heptanedioic acid

N, N-bis[(6-chlorobenzothiazol-2-ylcarbamoyl)methyl]amide hydroxyamide 853954-89-9P, Heptanedioic acid

N, N-bis[(4-methylbenzothiazol-2-ylcarbamoyl)methyl]amide hydroxyamide 853954-91-3P, Heptanedioic acid

N, N-bis[[(1-methyl-1H-benzimidazol-2-yl)carbamoyl]methyl]amide hydroxyamide 853954-92-4P, Heptanedioic acid

N,N-bis[(6-fluorobenzothiazol-2-ylcarbamoyl)methyl]amide hydroxyamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of diamine and iminodiacetic acid hydroxamic acid derivs. as histone deacetylase inhibitors useful against cancer and other diseases)

RN 853954-53-7 CAPLUS

CN Octanediamide, N8-hydroxy-N1, N1-bis[2-oxo-2-(8-quinolinylamino)ethyl]- (CA INDEX NAME)

RN 853954-55-9 CAPLUS

CN Hexanediamide, N6-hydroxy-N1, N1-bis[2-oxo-2-(8-quinolinylamino)ethyl]- (CA INDEX NAME)

## 10/923,271

RN 853954-56-0 CAPLUS

CN Heptanediamide, N7-hydroxy-N1, N1-bis[2-oxo-2-(8-quinolinylamino)ethyl]-(CA INDEX NAME)

RN 853954-63-9 CAPLUS

CN Octanediamide, N8-hydroxy-N1, N1-bis[2-oxo-2-(6-quinolinylamino)ethyl]-(CA INDEX NAME)

RN 853954-69-5 CAPLUS

CN Heptanediamide, N1, N1-bis[2-(2-benzothiazolylamino)-2-oxoethyl]-N7-hydroxy-(CA INDEX NAME)

RN 853954-70-8 CAPLUS

CN Heptanediamide, N7-hydroxy-N1,N1-bis[2-oxo-2-(6-quinolinylamino)ethyl]- (CA INDEX NAME)

RN 853954-76-4 CAPLUS

CN Heptanediamide, N1, N1-bis[2-[(2,3-dihydro-1,4-benzodioxin-6-yl)amino]-2-oxoethyl]-N7-hydroxy- (CA INDEX NAME)

RN 853954-77-5 CAPLUS

CN Heptanediamide, N7-hydroxy-N1, N1-bis[2-(1H-indazol-5-ylamino)-2-oxoethyl](CA INDEX NAME)

RN 853954-82-2 CAPLUS

CN Heptanediamide, N1, N1-bis[2-(1,3-benzodioxol-5-ylamino)-2-oxoethyl]-N7-hydroxy- (CA INDEX NAME)

RN 853954-87-7 CAPLUS

CN Heptanediamide, N7-hydroxy-N1,N1-bis[2-[(6-methoxy-2-benzothiazolyl)amino]-2-oxoethyl]- (CA INDEX NAME)

RN 853954-88-8 CAPLUS

CN Heptanediamide, N1,N1-bis[2-[(6-chloro-2-benzothiazolyl)amino]-2-oxoethyl]-N7-hydroxy- (CA INDEX NAME)

RN 853954-89-9 CAPLUS

CN Heptanediamide, N7-hydroxy-N1, N1-bis[2-[(4-methyl-2-benzothiazolyl)amino]-2-oxoethyl]- (CA INDEX NAME)

RN 853954-91-3 CAPLUS

CN Heptanediamide, N7-hydroxy-N1,N1-bis[2-[(1-methyl-1H-benzimidazol-2-yl)amino]-2-oxoethyl]- (CA INDEX NAME)

RN 853954-92-4 CAPLUS

CN Heptanediamide, N1, N1-bis[2-[(6-fluoro-2-benzothiazolyl)amino]-2-oxoethyl]-N7-hydroxy- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2004:878165 CAPLUS

DOCUMENT NUMBER: 141:379809

TITLE: Preparation of pyridine derivatives as CXCR4 chemokine

receptor binding compounds

INVENTOR(S):
Bridger, Gary; McEachern, Ernest J.; Skerlj, Renato;

Schols, Dominique

PATENT ASSIGNEE(S): Genzyme Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 211 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	DATE			
US 20040209921	<b>A</b> 1	20041021	US 2004-823494	20040412		
US 7291631	В2	20071106				
CA 2520259	A1	20041028	CA 2004-2520259	20040412		
WO 2004091518	<b>A</b> 2	20041028	WO 2004-US11328	20040412		
WO 2004091518	A3	20041223				
W: AE, AG, AL,	AM, AT	C, AU, AZ, BA	A, BB, BG, BR, BW, BY, I	BZ, CA, CH,		

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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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        RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
                                20060111
                                         EP 2004-759481
                                                                   20040412
     EP 1613613
                          Α2
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
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PRIORITY APPLN. INFO.:
                                            US 2003-462736P
                                            US 2003-505688P
                                                            A3 20040412
                                            US 2004-823494
                                            WO 2004-US11328
                                                                   20040412
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S):
                  MARPAT 141:379809
GΙ
```

AB Title compds. I [X = (CR32)o-(CR3=CR3)p-(CR32)r-NR52, (CR32)s-R4, (un)substituted mono or bicyclic ring optionally containing N, O or S, etc.; Y = (un)substituted N-containing monocyclic or bicyclic aromatic or partially aromatic

moiety; A and R1 = non-interfering substituent provided that two As do not form a ring; R2 and R3 = H or (un)substituted alkyl; R4 = (un)substituted heterocycle or a hetero compound; R5 = H or alkyl; wherein R1 and R2 is not

H; and wherein R1 and R2 may be connected to form an addnl. ring if Y does not contain a 2-imidazoyl residue optionally connected to an addnl. ring; q and n independently = 0-4; p = 0-1; o and r independently = 1-4; s = 1-6provided that if X = (CR3)2-R4, r is at least two if R4 = 2-pyridinyl, quinolinyl, imidazolyl or furan], as well as their pharmaceutically acceptable salts, are prepared and disclosed as having the ability to bind to chemokine receptors, in particular CXCR4. Thus, e.g., II was prepared by reductive amination of {4-[(3-methylpyridin-2-ylmethyl)-amino]butyl}carbamic acid tert-Bu ester (preparation given) with 3-benzyloxypyrazine-2-carbaldehyde. The present invention also relates to methods of using such compds., such as in treating HIV infection and inflammatory conditions such as rheumatoid arthritis. In assays to evaluate inhibition of HIV-1, many compds. of the invention exhibited IC50 values in the range of  $0.5 \text{nM} - 5 \mu\text{M}$ . Furthermore, the present invention relates to methods to elevate progenitor and stem cell counts, as well as methods to elevate white blood cell counts, using such compds.

IT 780797-94-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyridine derivs. as CXCR4 chemokine receptor binding compds.)

RN 780797-94-6 CAPLUS

CN Pentanamide, 5-[bis[(3-methyl-2-pyridinyl)methyl]amino]-N-hydroxy- (CA INDEX NAME)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file stnguide COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 230.31 32.20 TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE SESSION ENTRY -3.48CA SUBSCRIBER PRICE -3.48

FILE 'STNGUIDE' ENTERED AT 13:27:16 ON 15 FEB 2011 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2011 AMERICAN CHEMICAL SOCIETY (ACS)

CA SUBSCRIBER PRICE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 11, 2011 (20110211/UP).

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

ENTRY SESSION
ENTRY SESSION

0.00

-3.48

FILE 'REGISTRY' ENTERED AT 13:35:26 ON 15 FEB 2011 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2011 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 FEB 2011 HIGHEST RN 1262832-62-1 DICTIONARY FILE UPDATES: 14 FEB 2011 HIGHEST RN 1262832-62-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2010.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10580480f.str

L6 STRUCTURE UPLOADED

=> d

=>

L6 HAS NO ANSWERS

L6 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 16 sss full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 13:36:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 213670 TO ITERATE

100.0% PROCESSED 213670 ITERATIONS 110 ANSWERS SEARCH TIME: 00.00.06

L7 110 SEA SSS FUL L6

=> file caplus SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION 429.31 FULL ESTIMATED COST 197.88 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) TOTAL SINCE FILE SESSION ENTRY -3.48CA SUBSCRIBER PRICE 0.00

FILE 'CAPLUS' ENTERED AT 13:37:10 ON 15 FEB 2011 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2011 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 15 Feb 2011 VOL 154 ISS 8
FILE LAST UPDATED: 14 Feb 2011 (20110214/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17

L8 27 L7

=> s 18 and py<2003 23000005 PY<2003

L9 14 L8 AND PY<2003

 $\Rightarrow$  s 18 and py<2004

24052574 PY<2004

L10 16 L8 AND PY<2004

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22841 HETEROARYL 22397 HETEROCYCLYL

L11 3 L10 AND ( HETEROARYL OR HETEROCYCLYL)

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THE ESTIMATED COST FOR THIS REQUEST IS 17.88 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2002:275960 CAPLUS

DOCUMENT NUMBER: 136:310184

TITLE: Preparation of hydroxamic acid peptide deformylase

inhibitors as antibacterial agents

INVENTOR(S): Chong, Lee; Frechette, Roger; Scott, Carole; Tester,

Richard; Smith, Whitney; Chiba, Katsumi; Sakamoto,

Masatoshi; Gluchowski, Charles

PATENT ASSIGNEE(S): Questcor Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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APPLICATION NO.
    PATENT NO.
               KIND
                             DATE
                                                              DATE
    WO 2002028829
                      A2
                             20020411
                                      WO 2001-US29926
                                                              20010924 <--
    WO 2002028829 A3
                             20031224
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            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
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            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
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            KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
            IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
            GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2002030385 A
                             20020415
                                      AU 2002-30385
                                                              20010924 <--
                                        US 2000-234967P
PRIORITY APPLN. INFO.:
                                                           P 20000925
                                        US 2001-761850 A 20010118
                                        WO 2001-US29926 W 20010924
OTHER SOURCE(S): MARPAT 136:310184
GΙ
```

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Hydroxamic acid derivs. of peptides and peptidomimetics of formulas I, II, AΒ and III [wherein Z = NHOH or ORa; Ra = alkyl or a biocleavable moiety; X = CO or SO2; Y = (un)substituted heteroalkyl or heterocyclyl; R1 = (un) substituted (cyclo) alkyl, aryl, heterocyclyl, or heteroalkyl; R2R3 = 4-7 membered (un)substituted heterocycle; R2R4 = ringformed through a CH2CH2 linkage; or R2 = Me; or R3 = H or (un)substituted (hetero)alkyl, aryl, or heterocyclyl; or R4 = H or (un) substituted (hetero) alkyl, aryl, or heterocyclyl; R5 and R6 = independently H, NO2, NH2, NHCOH, NHCOCH3, NHSO2CH3, or (un)substituted CH2NH-(hetero)alkyl or CH2NH-heterocyclyl; one of R7 or R8 = CHR10CONHOH; one of R7 or R8 = (un) substituted (hetero)alkyl, (alkyl) heterocyclyl, or alkylaryl; R9 and R10 = independently H or (un) substituted (hetero) alkyl, (alkyl) heterocyclyl, or alkylaryl] were prepared as peptide deformylase (Fe-PDF) inhibitors for treating various bacterial infections. For example, 3-pyrrolidinol was added to tert-Bu (R)-(2-pentyl) succinate mono(N-hydroxysuccinimide) ester to give the amide (68%). Treatment with 20% TFA/DCM, followed by MeOH, benzene, and TMSN2 in hexanes, to afford the Me ester (90%). The pyrrolidinol was coupled with 4-methoxyphenylisocyanate and the ester converted to the hydroxamic acid (IV) using NH2OH•HCl. The latter inhibited E. coli Fe-PDF with IC50 of 9 nM and showed selectivity for Fe-PDF vs. thermolysin with a selectivity index of 30,000. Thus, I, II, and III are useful as antibiotics against a broad range of infectious disease in animals and humans.

IT 409129-81-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(peptide deformylase inhibitor; preparation of hydroxamic acid derivs. of

peptides and peptidomimetics as peptide deformylase inhibitors for treatment of infectious diseases)

RN 409129-81-3 CAPLUS

CN Butanediamide, N4-hydroxy-N1, N1-bis(2-hydroxyethyl)-2-pentyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS

RECORD (11 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:713343 CAPLUS

DOCUMENT NUMBER: 135:272894

TITLE: Preparation of  $\beta$ -amino acid derivatives as

inhibitors of matrix metalloproteases and  $\text{TNF-}\alpha$ 

INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl;

Maduskuie, Thomas P., Jr.; Voss, Matthew E.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 483 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIN	ND DATE			APPLICATION NO.						DATE			
WO 200								,	WO 2	 001-1	JS833	36		20	00103	 315 <	_
WO 200																	
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RW	: AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	
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AU 200	10508	50		A		2001	1003	,	AU 2	001-	50850	C		20	00103	315 <	-
EP 126	3756			A2		2002	1211		EP 2	001-9	9241	71		20	00103	315 <	_
EP 126	3756			B1		2004	0225										
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BR 200	10094	69		A		2003	0429		BR 2	001-	9469			20	00103	315 <	-
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PRIORITY APPLN. INFO.:
                                                                    20000317
                                            US 2000-235467P
                                                                    20000926
                                             US 2000-252062P
                                                                    20001120
                                                                    20010315
                                             WO 2001-US8336
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S):
                         MARPAT 135:272894
     Novel \beta-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A =
AB
     CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O) (OH)2, etc.; X, Xa is
     absent or alkylene, alkenylene or alkynylene; Z is absent or substituted
     C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1
     [Ra1 = H, (un) substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a
     ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O,
     NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered
     heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted
     carbocycle or heterocycle), alkylene-Q, (CRaRa1)r10(CRaRa1)r-Q (r, r1 =
     0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for
     Q), alkylene-Q1, (CRaRa1)r10(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.;
     R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and
     R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a
     stereoisomer or pharmaceutically acceptable salt were prepared as
     metalloprotease and TNF-\alpha inhibitors. Thus,
     N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-
     azetidinecarboxamide was prepared by a multistep procedure involving
     reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and
     3-azetidinecarboxylic acid Me ester.
     362698-32-6P
\operatorname{IT}
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of \beta-amino acid derivs. as inhibitors of matrix
        metalloproteases and TNF-\alpha)
```

RN 362698-32-6 CAPLUS
CN Benzamide, N-[1-[2-(diethylamino)ethyl]-3-(hydroxyamino)-1-methyl-3-

oxopropyl]-4-[(2-methyl-4-quinolinyl)methoxy]- (CA INDEX NAME)

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS

RECORD (11 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1994:700765 CAPLUS

DOCUMENT NUMBER: 121:300765

ORIGINAL REFERENCE NO.: 121:55057a,55060a

TITLE: Preparation of oxoheterocyclyl-substituted hydroxamic

acid derivatives as collagenase inhibitors

INVENTOR(S): Broadhurst, Michael John; Brown, Paul Anthony;

Johnson, William Henry; Lawton, Geoffrey

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 574758 EP 574758	A1	19931222 19980909	EP 1993-108628	19930528 <
R: AT, BE, CH	B1 , DE, DE	K, ES, FR,		
US 5318964	A	19940607	US 1993-66832	19930524 <
AU 9339816	A	19931216	AU 1993-39816	19930526 <
AU 659555	B2	19950518		
AT 170840	T	19980915	AT 1993-108628	19930528 <
ES 2121896	Т3	19981216	ES 1993-108628	19930528 <
ZA 9303957	A	19931213	ZA 1993-3957	19930604 <
RO 112613	В3	19971128	RO 1993-777	19930604 <
CZ 283373	В6	19980415	CZ 1993-1081	19930604 <
IL 105921	A	19980104	IL 1993-105921	19930607 <
CA 2098168	A1	19931212	CA 1993-2098168	19930610 <
NO 9302117	A	19931213	NO 1993-2117	19930610 <

CN	1083062	A	19940302	CN	1993-107239		19930610 <
CN	1035616	С	19970813				
JP	06065196	A	19940308	JР	1993-165228		19930610 <
JP	07076210	В	19950816				
FI	109535	B1	20020830	FΙ	1993-2692		19930611 <
US	5447929	A	19950905	US	1994-214895		19940317 <
PRIORIT	Y APPLN. INFO.:			GB	1992-12421	A	19920611
				GB	1993-5720	A	19930319
				US	1993-66832	A3	19930524

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 121:300765

GΙ

RN

AB R1(CH2)nCH(CONHOH)CH(CONR2R3)CHR4CR5R6CH2R7 (R1 = N-attached oxoheterocyclyl; R2 = alkyl; R3 = alkyl or aryl; NR2R3 = heterocyclyl; R4-R7 = H or Me; n = 1-4) were prepared Thus, (2R)-[(1R,S)-tert-butoxycarbonyl-2-phthalimidoethyl]-4-methylvaleric acid was amidated by 1-benzyloxycarbamoyl-(3S)-hexahydropyridazinecarboxylic acid and the product converted in 3 steps to title compound (R,S)-I which had IC50 of 1.2 nM against collagenase in vitro.

IT 159135-28-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as collagenase inhibitor) 159135-28-1 CAPLUS

CN Hexanamide, 1-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N,N-diethyl-N'-hydroxy-5-methyl- (CA INDEX NAME)

OS.CITING REF COUNT: 30 THERE ARE 30 CAPLUS RECORDS THAT CITE THIS

RECORD (38 CITINGS)